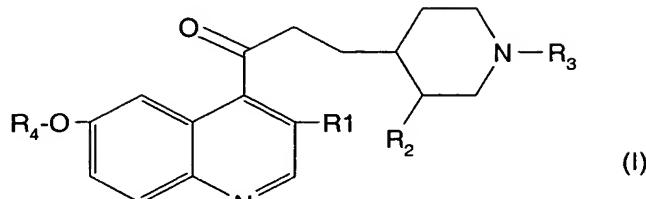


CLAIMS

1. A compound of the formula (I):



5

wherein:

R<sub>1</sub> is hydrogen or fluorine;

10

R<sub>2</sub> is carboxyl, carboxymethyl or hydroxymethyl;

15

R<sub>3</sub> is C<sub>1-6</sub>alkyl substituted with phenylthio, C<sub>3-7</sub>cycloalkylthio or 5- to 6-membered heteroarylthio; or propargyl substituted with phenyl, C<sub>3-7</sub>cycloalkyl or 5- to 6-membered heteroaryl;

20

wherein said heteroaryl is having 1 to 4 heteroatoms chosen from nitrogen, oxygen and sulfur; and

25

wherein said phenyl or said heteroaryl is optionally substituted with one or more substituents selected from the group consisting of halogen, hydroxyl, alkyl, alkyloxy, trifluoromethyl, trifluoromethoxy, carboxyl, alkyloxycarbonyl, cyano and amino; and

30

wherein said cycloalkyl is optionally substituted with one or more substituents chosen from halogen and trifluoromethyl; and

R<sub>4</sub> is C<sub>1-6</sub>alkyl, C<sub>2-6</sub>alkenyl-CH<sub>2</sub>- or C<sub>2-6</sub>alkynyl-CH<sub>2</sub>-, C<sub>3-8</sub>cycloalkyl or C<sub>3-8</sub>cycloalkylalkyl; or

an isomer, an enantiomer, a diastereoisomer or a mixture thereof, or a pharmaceutically acceptable salt thereof.

5 2. The compound as set forth in claim 1, wherein R<sub>4</sub> is C<sub>1-6</sub>alkyl.

10 3. The compound as set forth in claim 1, wherein R<sub>2</sub> is carboxyl.

15 4. The compound as set forth in claim 1, wherein R<sub>3</sub> is C<sub>1-6</sub>alkyl substituted with an optionally substituted phenylthio, cycloalkylthio or heteroarylthio.

15 5. The compound as set forth in claim 4, wherein R<sub>3</sub> is ethyl substituted with thienylthio, phenylthio substituted with halogen or cyclohexylthio or cyclopentylthio.

20 6. The compound as set forth in claim 1, which is selected from the group consisting of:

25 1-(2-cyclohexylsulfanyethyl)-4-[3-(3-fluoro-6-methoxyquinolin-4-yl)-3-oxopropyl]piperidine-3-carboxylic acid,

30 4-[3-(3-fluoro-6-methoxyquinolin-4-yl)-3-oxo-propyl]-1-[3-(2,3,5-trifluorophenyl)prop-2-ynyl]piperidine-3-carboxylic acid,

35 4-[3-oxo-3-(3-fluoro-6-methoxyquinolin-4-yl)propyl]-1-[2-(2,5-difluorophenylsulfanyl)ethyl]piperidine-3-carboxylic acid,

4-[3-oxo-3-(3-fluoro-6-methoxyquinolin-4-yl)propyl]-1-[2-(2,5-difluorophenylsulfanyl)ethyl]piperidine-3-acetic

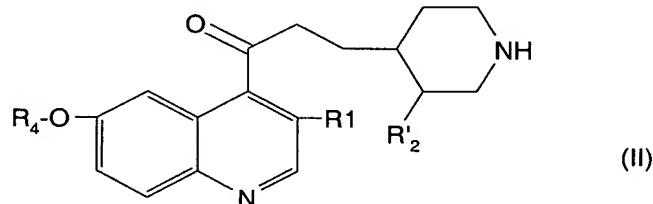
acid,

4-[3-oxo-3-(6-methoxyquinolin-4-yl)propyl]-  
1-[2-(2-thienylsulfanyl)ethyl]piperidine-3-carboxylic  
5 acid, and

4-[3-oxo-3-(6-methoxyquinolin-4-yl)propyl]-  
1-[3-(2,3,5-trifluorophenyl)prop-2-ynyl]piperidine-  
3-carboxylic acid, or

10 an isomer, an enantiomer, a diastereoisomer or a mixture thereof, or a pharmaceutically acceptable salt thereof.

15 7. A process for preparing a compound of formula (I)  
as set forth in claim 1, comprising condensing  
R<sub>3</sub>-X with a compound of formula (II) or a  
corresponding ketone-protected compound of formula  
(II):

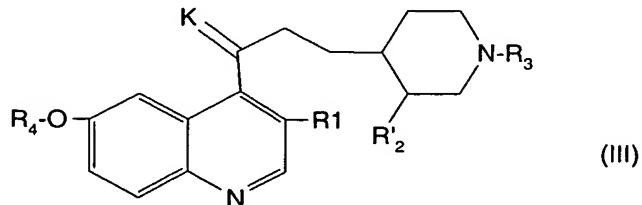


20

wherein R<sub>1</sub>, R<sub>3</sub> and R<sub>4</sub> are as defined in claim 1;  
and

R<sub>2'</sub> is protected carboxyl or carboxymethyl;

25 X is halogen, methysulfonyloxy, trifluoromethyl-  
sulfonyloxy or p-toluenesulfonyloxy; to  
obtain a compound of formula (III):



wherein  $R_1$ ,  $R'_2$ ,  $R_3$  and  $R_4$  are as defined above;  
and

$K$  is oxygen or a ketone-protecting group; and

5 deprotecting the compound of formula (III) to form  
the compound of formula (I) wherein  $R_2$  is  
carboxyl or carboxymethyl; and optionally

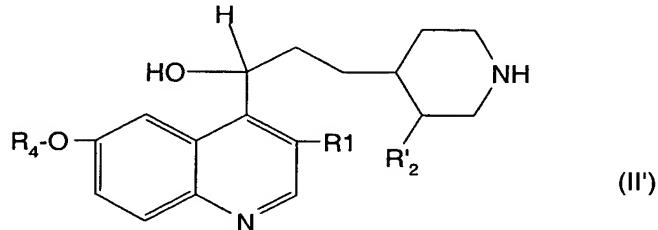
reducing the carboxyl compound of formula (I) thus  
obtained or reducing directly the protected  
carboxyl compound of formula (III) to obtain  
10 a compound of formula (I) wherein  $R_2$  is  
hydroxymethyl; and, optionally,

converting said hydroxymethyl compound of formula  
(I) to a carboxymethyl compound of formula  
(I); and optionally

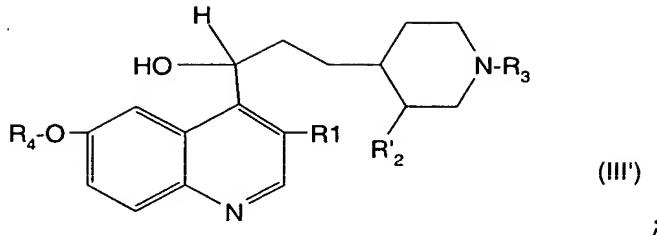
15 separating the isomers, and removing the acid-  
protecting group, and the ketone-protecting group;  
and optionally

converting said compound to a suitable salt.

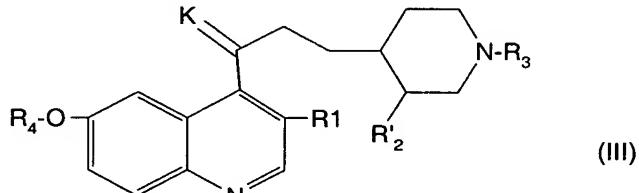
8. A process for preparing a compound of formula (I)  
20 as set forth in claim 1 comprising condensing  $R_3-X$   
with a compound of formula (II'):



to obtain a compound of formula (III'):



oxidizing the alcohol group in the alpha position of the quinoline to a ketone to obtain a compound of formula (III) :



5

wherein R<sub>1</sub>, R<sub>3</sub> and R<sub>4</sub> are as defined in claim 1 and R'<sub>2</sub> is a protected carboxyl or carboxymethyl; and

10 X is halogen, methysulfonyloxy, trifluoromethylsulfonyloxy or p-toluenesulfonyloxy; and

K is oxygen;

15 deprotecting the compound of formula (III) to form compound of formula (I) wherein R<sub>2</sub> is carboxyl or carboxymethyl; and optionally

20 reducing the carboxyl compound of formula (I) thus obtained or reducing directly the protected carboxyl compound of formula (III) to obtain a compound of formula (I) wherein R<sub>2</sub> is hydroxymethyl; and, optionally,

converting said hydroxymethyl compound of formula (I) to a carboxymethyl compound of formula (I); and optionally

separating the isomers, and removing the acid-protecting group, and the ketone-protecting group; and optionally

converting said compound to a suitable salt.

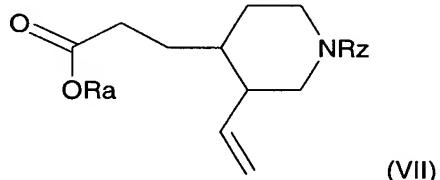
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9. The process as set forth in claim 7, wherein the compound of formula (II) in which R<sub>1</sub> is fluorine is prepared by the reaction of a compound of formula (VI) :



10

with a compound of formula (VII) :

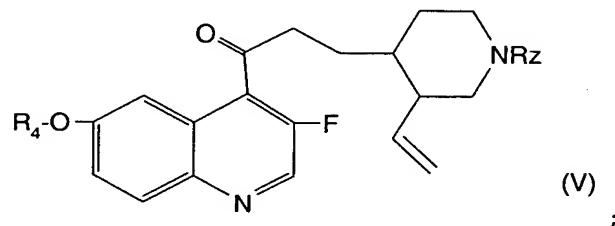


wherein R<sub>4</sub> is as defined in claim 7;

15 Rz is an amine-protecting group; and

Ra is an alkyl group;

to obtain a compound of formula (V) :



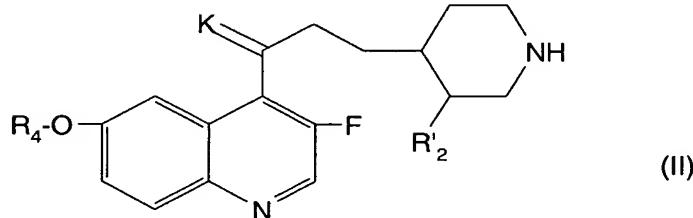
- oxidizing compound of formula (V) to obtain the corresponding compound of formula (I) in which R<sub>2</sub> is carboxyl; and optionally  
protecting the carboxyl and the ketone groups; and  
5 reducing the carboxyl to hydroxymethyl, and converting said hydroxymethyl to carboxymethyl; and  
deprotecting the ketone and the amine groups to obtain the compound of formula (II) in which R<sub>1</sub> is  
10 fluorine.
10. The process as set forth in claim 7 wherein the compound formed is selected from the group consisting of:  
15 1-(2-cyclohexylsulfanylethyl)-4-[3-(3-fluoro-6-methoxyquinolin-4-yl)-3-oxopropyl]piperidine-3-carboxylic acid,  
20 4-[3-(3-fluoro-6-methoxyquinolin-4-yl)-3-oxo-propyl]-1-[3-(2,3,5-trifluorophenyl)prop-2-ynyl]piperidine-3-carboxylic acid,  
25 4-[3-oxo-3-(3-fluoro-6-methoxyquinolin-4-yl)propyl]-1-[2-(2,5-difluorophenylsulfanyl)ethyl]piperidine-3-carboxylic acid,  
30 4-[3-oxo-3-(3-fluoro-6-methoxyquinolin-4-yl)propyl]-1-[2-(2,5-difluorophenylsulfanyl)ethyl]piperidine-3-acetic acid,  
35 4-[3-oxo-3-(6-methoxyquinolin-4-yl)propyl]-1-[2-(2-thienylsulfanyl)ethyl]piperidine-3-carboxylic acid, and  
4-[3-oxo-3-(6-methoxyquinolin-4-yl)propyl]-

1-[3-(2,3,5-trifluorophenyl)prop-2-ynyl]piperidine-3-carboxylic acid, or

5 an isomer, an enantiomer, a diastereoisomer or a mixture thereof, or a pharmaceutically acceptable salt thereof.

10 11. A pharmaceutical composition comprising therapeutically effective amount of a compound of formula (I) as set forth in claim 1 or a pharmaceutically acceptable salt thereof, in combination with a pharmaceutically acceptable carrier.

15 12. A compound of formula (II):



wherein

R'2 is protected carboxyl or carboxymethyl;

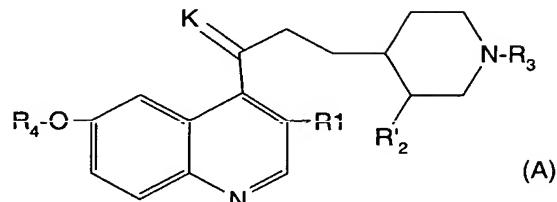
20 R4 is C<sub>1-6</sub>alkyl, C<sub>2-6</sub>alkenyl-CH<sub>2</sub>- or C<sub>2-6</sub>alkynyl-CH<sub>2</sub>-, C<sub>3-8</sub>cycloalkyl or C<sub>3-8</sub>cycloalkylalkyl; and

K is oxygen or a ketone-protecting group.

25 13. The compound as set forth in claim 12 wherein K is oxygen.

14. The compound as set forth in claim 12 wherein K is ketone-protecting group.

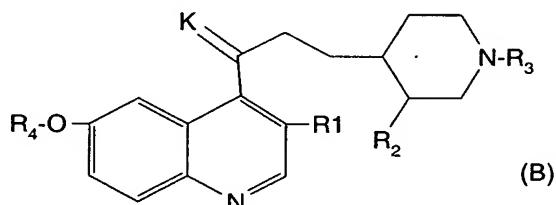
15. A compound of formula (A):



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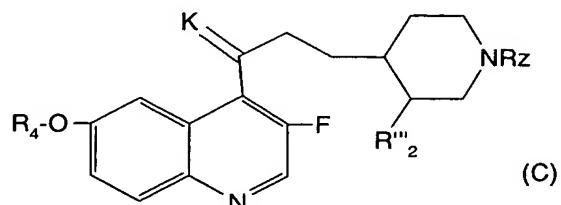
wherein R<sub>1</sub>, R<sub>3</sub> and R<sub>4</sub> are as defined in claim 1, R<sub>2</sub>' is protected carboxyl or carboxymethyl and K is a ketone-protecting group.

10 16. A compound of formula (B):



wherein R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub> and R<sub>4</sub> are as defined in claim 1 and K represents a ketone-protecting group

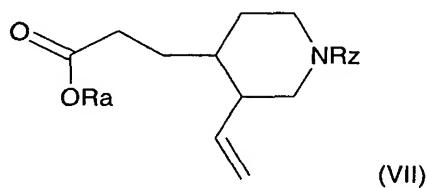
15 17. A compound of formula (C):



wherein R<sub>4</sub> is as defined in claim 1, R<sub>z</sub> is an amine-protecting group, K is oxygen or a ketone-protecting group and R''''<sub>2</sub> is a free or protected carboxyl or carboxymethyl or hydroxymethyl.

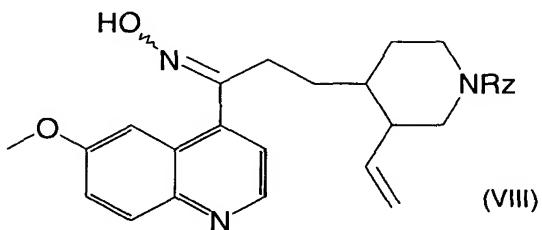
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18. A compound of formula (VII):



10 wherein R<sub>z</sub> is an amine-protecting group and Ra is C<sub>1-4</sub>alkyl.

19. A compound of formula (VIII):



15 wherein R<sub>z</sub> is an amine-protecting group.

20. A method of treatment of a bacterial infection in a patient comprising administering to said patient a therapeutically effective amount of a compound  
20 of formula (I) as set forth in claim 1 or a pharmaceutically acceptable salt thereof.

21. The method as set forth in claim 20 wherein said bacterial infection is caused by gram (+) bacteria.  
25

22. The method as set forth in claim 20 wherein said bacterial infection is staphylococcic infection.
23. The method as set forth in claim 22 wherein said 5 staphylococcic infection is selected from the group consisting of staphylococcal septicemias, malignant staphylococcic infections of the face or skin, pyoderma, septic or suppurant wounds, anthrax, phlegmons, erysipelas, acute primary or 10 post-influenza staphylococcic infections, bronchopneumonias and pulmonary suppurations.
24. The method as set forth in claim 20 wherein said bacterial infection is colibacilloses and related 15 infections, proteus infection, klebsiella infection, salmonella infection, and infection caused by gram (-) bacteria.